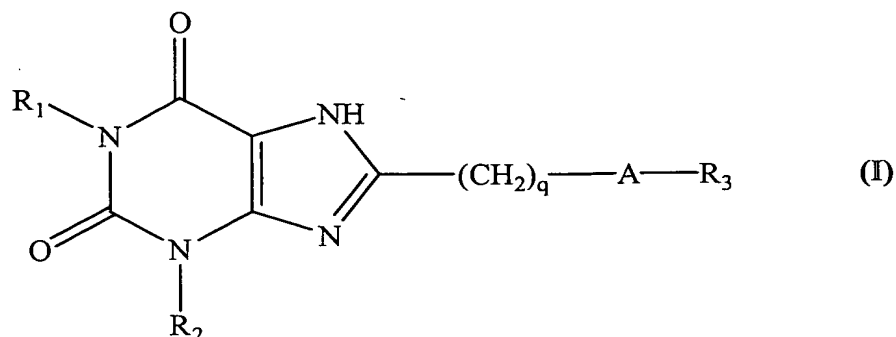


THAT WHICH IS CLAIMED IS:

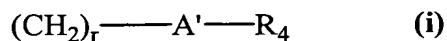
1. A compound of formula (I):



wherein:

A is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

R₁ or R₂ is of the formula (i):



wherein:

A' is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

r is an integer ranging from 1 to 20;

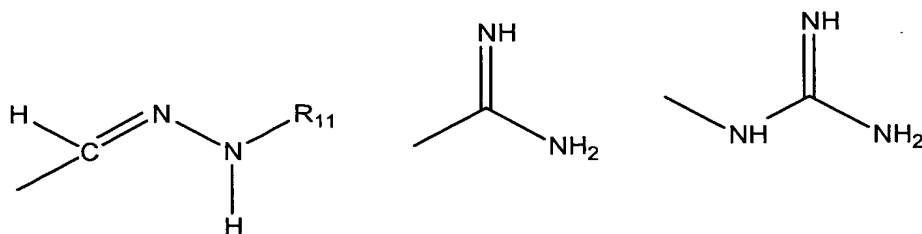
R₄ is selected from the group consisting of H; NH₂; (CH₂)_sOH, wherein s is an integer ranging from 1 to 8; R₁₄COOH, wherein R₁₄ is an alkyl or alkylidene group having 1 to 8 carbon atoms, halo, NHR₈, NR₈R₉, NHCOR₈, NR₈COR₉, SO₃H and PO₃H₂;

R₃ is selected from the group consisting of H, NH₂, R₁₅COOH, wherein R₁₅ is an alkyl or alkylidene group having 1 to 8 carbon atoms, and (CH₂)_tOH, wherein t is an

integer ranging from 1 to 8; halo, NHR_8 , NR_8R_9 , NHCOR_8 , NR_8COR_9 , SO_3H and PO_3H_2 ;

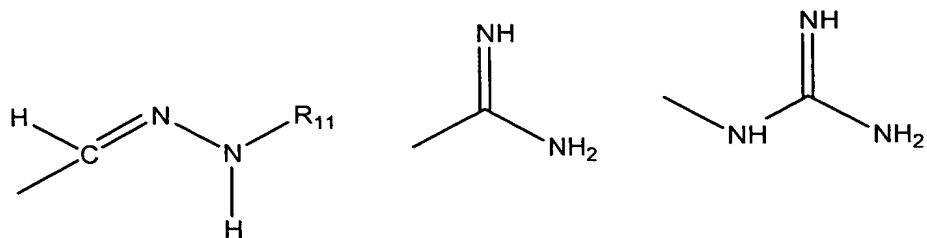
q is an integer ranging from 1 to 8;

or R_1 is a C_1 - C_8 alkanyl group, C_2 - C_8 -alkenyl- or C_2 - C_8 -alkynyl- group which is optionally substituted by $-\text{CN}$, $-\text{CH}_2\text{NR}_6\text{R}_7\text{OH}$, $-\text{OR}_8$, $-\text{NR}_6\text{R}_7$, $-\text{NHCOR}_8$, $-\text{NHCONR}_6\text{R}_7$, halogen, $-\text{OCOR}_8$, $-\text{OCH}_2\text{COOH}$, $-\text{OCH}_2\text{COOR}_8$, $-\text{SO}_2\text{R}_5$, $-\text{S}-\text{R}_5$, $-\text{NHCONH}$ phenyl, $-\text{OCH}_2-\text{CONR}_6\text{R}_7$, $-\text{OCH}_2\text{CH}_2\text{OH}$, $-\text{SO}_2-\text{CH}_2-\text{CH}_2-\text{O}-\text{COR}_8$, $-\text{OCH}_2-\text{CH}_2-\text{NR}_6\text{R}_7$, $-\text{SO}_2-\text{CH}_2-\text{CH}_2-\text{OH}$, $-\text{CONHSO}_2\text{R}_8$, $-\text{CH}_2\text{CONHSO}_2\text{R}_8$, $-\text{OCH}_2\text{CH}_2\text{OR}_8$, $-\text{COOH}$, $-\text{COOR}_8$, $-\text{CONR}_6\text{R}_7$, $-\text{CHO}$, $-\text{SR}_8$, $-\text{SOR}_8$, $-\text{SO}_2\text{R}_8$, $-\text{SO}_3\text{H}$, $-\text{PO}_3\text{H}_2$, $-\text{SO}_2\text{NR}_6\text{R}_7$, $-\text{OCH}_2-\text{CH}_2\text{OCOR}_8$, $-\text{CH}=\text{NOH}$, $-\text{CH}=\text{NOR}_8$, $-\text{COR}_9$, $-\text{CH}(\text{OH})\text{R}_9$, $-\text{CH}(\text{OR}_8)_2$, $-\text{CH}=\text{CH}-\text{R}_{10}$, $-\text{OCONR}_6\text{R}_7$,



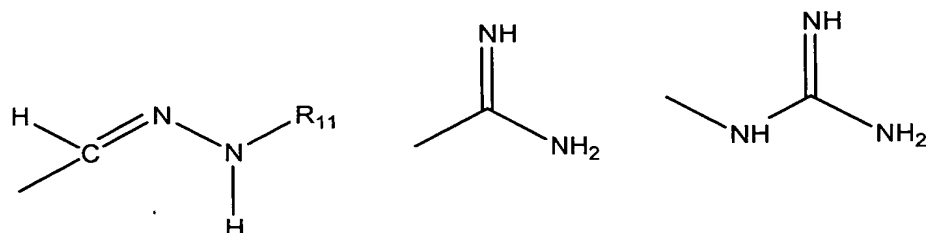
or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes phenyl- C_1 - C_6 -alkylene, phenyl- C_2 - C_6 -alkenylene or phenyl- C_2 - C_6 -alkynylene, in which the phenyl ring is optionally substituted, either directly or via a C_1 - C_4 -alkylene group, with one or more of the following groups: $-\text{C}_1$ - C_3 -alkyl, $-\text{CN}$, $-\text{CH}_2\text{NR}_6\text{R}_7$, $-\text{NO}_2$, $-\text{OH}$, $-\text{OR}_8$, $-\text{CH}_2-\text{NH}-\text{SO}_2-\text{R}_8$, $-\text{NHCOR}_8$, $-\text{NHCONR}_6\text{R}_7$, halogen, $-\text{OCOR}_8$, $-\text{OCH}_2\text{COOH}$, $-\text{OCH}_2\text{COOR}_8$, $-\text{CH}_2\text{OCOR}_8$, $-\text{SO}_2\text{R}_5$, $-\text{OCH}_2-\text{CONR}_6\text{R}_7$, $-\text{OCH}_2\text{CH}_2\text{OH}$, $-\text{OCH}_2-\text{CH}_2-\text{NR}_6\text{R}_7$, $-\text{CONHSO}_2\text{R}_8$, $-\text{OCH}_2\text{CH}_2\text{OR}_8$, $-\text{COOH}$, $-\text{COOR}_8$, $-\text{CF}_3$, cyclopropyl, $-\text{CONR}_6\text{R}_7$, $-\text{CH}_2\text{OH}$, $-\text{CH}_2\text{OR}_8$, $-\text{CHO}$, $-\text{SR}_8$, $-\text{SOR}_8$, $-\text{SO}_2\text{R}_8$, $-\text{SO}_3\text{H}$, $-\text{PO}_3\text{H}_2$, $-\text{SO}_2\text{NR}_6\text{R}_7$, $-\text{OCH}_2-\text{CH}_2\text{OCOR}_8$, $-\text{CH}=\text{NOH}$, $-\text{CH}=\text{NOR}_8$, $-\text{COR}_9$, $-\text{CH}(\text{OH})\text{R}_9$, $-\text{CH}(\text{OR}_8)_2$, $-\text{NHCOOR}_8$, $-\text{CH}_2\text{CONHSO}_2\text{R}_8$, $-\text{CH}=\text{CH}-\text{R}_{10}$, $-\text{OCONR}_6\text{R}_7$, $-\text{CH}_2-\text{O}-\text{CONR}_6\text{R}_7$, $-\text{CH}_2-\text{CH}_2-\text{O}-\text{CONR}_6\text{R}_7$,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

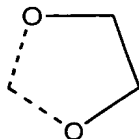
denotes C₃-C₇-cycloalkyl-C₁-C₆-alkylene-, C₃-C₇-cycloalkyl-C₂-C₆-alkenylene-, C₃-C₇-cycloalkyl-C₂-C₆-alkynylene-, in which the cycloalkyl group may optionally be substituted, either directly or via a C₁₋₄-alkylene group, by -CN, -CH₂NR₆R₇, =O, -OH, -OR₈, -NR₆R₇, -NHCOR₈, -NHCONR₆R₇, halogen, -OCOR₈, -OCH₂COOH, -OCH₂COOR₈, -CH₂OCOR₈, -SO₂R₅, -OCH₂CONR₆R₇, -OCH₂CH₂OH, -OCH₂-CH₂-NR₆R₇, -OCH₂CH₂OR₈, -COOH, -COOR₈, -CONR₆R₇, -CH₂OH, -CH₂OR₈, -CHO, -SR₈, -SOR₈, -SO₂R₈, -SO₃H, -PO₃H₂, -SO₂NR₆R₇, -OCH₂-CH₂-OCOR₈, -CH=NOH, -CH=NOR₈, -COR₉, -CH(OH)R₉, -CONHSO₂R₈, -CH(OR₈)₂, -NHCOOR₈, -CH=CH-R₁₀, -OCONR₆R₇, -CH₂-O-CONR₆R₇, -CH₂-CH₂-O-CONR₆R₇,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes a group of the formula A-C₁-C₆-alkylene-, A-CONH-C₁-C₆-alkylene-, A-CONH-C₂-C₆-alkenylene-, A-CONH-C₂-C₆-alkynylene-, A-NH-CO-C₁-C₆-alkylene-, A-NH-CO-C₂-C₆-alkenylene-, A-NH-CO-C₂-C₆-alkynylene-, A-C₂-C₆-alkenylene- or A-C₂-C₆-alkynylene, wherein A is a C- or N-linked 5- or 6-membered heterocyclic ring, 5- or 6-membered aromatic ring, or 5- or 6-membered heteroaromatic ring which contains

nitrogen, oxygen or sulphur as heteroatoms and may optionally be mono- or polysubstituted, by C₁-C₄-alkyl, halogen, --OR₈, -CN, --NO₂, -NH₂, -CH₂NR₆R₇, -OH, =O, a ketal, -COOH, -SO₃H, -PO₃H₂, -COOR₈, -CONR₆R₇, -COR₉, -SO₂-R₈, -CONR₆R₇ or



R₅ denotes C₁-C₄-alkyl, optionally substituted by OH, OCOR₈, NH₂, NR₆R₇ or NHCOR₈,

R₆ denotes hydrogen, an optionally substituted C₃₋₆-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, preferably a C₁-C₄-alkyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C₁ to C₈, or it denotes --(CH₂)_m—NHCOOR₈ wherein m=1, 2, 3 or 4;

R₇ denotes hydrogen, an optionally substituted C₃₋₆-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C₁ to C₈, -or it denotes --(CH₂)_m—NHCOOR₈ wherein m=1, 2, 3 or 4; or R₆ and R₇ together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as heteroatoms nitrogen, oxygen or sulphur, while the heterocyclic ring may be substituted by a branched or unbranched C₁₋₄-alkyl group, or may carry one of the following groups: --(CH₂)_n—NH₂, =O, a ketal - preferably -O-CH₂-CH₂-O-, - (CH₂)_n.NH-C₁-C₄-alkyl, -(CH₂)_n-N(C₁-C₈-alkyl), -(CH₂)_n-NHCOOR₈, (n=2, 3, 4), halogen, -OR₈, -CN, -NO₂, -NH₂, -CH₂NR₆R₇, -OH, -COOH, -SO₃H, -PO₃H₂, -COOR₈, -CONR₆R₇, -SO₂R₈,

R₈ denotes hydrogen, C₁-C₈-alkyl or C₂-C₈-alkenyl or C₂-C₈-alkynyl optionally substituted with CO₂H, a benzyl- or phenyl- group, which is optionally mono- or polysubstituted by OCH₃;

R₉ denotes C₁-C₈-alkyl or C₂-C₈-alkenyl or C₂-C₈-alkynyl optionally substituted with CO₂H, optionally substituted phenyl, optionally substituted benzyl, C₃-C₆-cycloalkyl, and

R₁₀ denotes -COOR₈, -CH₂OR₈, -CONR₆R₇, hydrogen, C₁-C₃-alkyl, optionally substituted phenyl, -CH₂NR₆R₇;

and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

2. The compound of claim 1, wherein at least one of R₃ and R₄ is independently selected from the group consisting of SO₃H and PO₃H₂.

3. The compound of claim 1, wherein R₁ or R₂ is a C₁-C₈ alkanyl group, C₂-C₈-alkenyl group or C₂-C₈ alkynyl group which is optionally substituted by NR₆R₇, -SO₃H, or -PO₃H₂.

4. The compound of claim 1, wherein A is phenyl.

5. The compound of claim 1, wherein A' is phenyl.

6. The compound of claim 1, wherein:

R₁ is a C₁-C₈ alkanyl group, C₂-C₈-alkenyl group or C₂-C₈ alkynyl group which is optionally substituted by NR₆R₇ or -SO₃H;

A is phenyl; and

A' is phenyl.

7. The compound of claim 6, wherein at least one of R₃ and R₄ is independently selected from the group consisting of SO₃H and PO₃H₂.

8. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(4-thiazolyl)methyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonylbenzyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;
3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine;
8-Benzyl-1-propyl-3-[4-(4-sulfonylphenyl)butyl]xanthine;
8-Benzyl-1-propyl-3-[2-(4-sulfonylphenyl)ethyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfonylpropyl)xanthine;
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

9. The compound of claim 1, wherein said compound is selected from the group consisting of:

8-Benzyl-1-propyl-3-[4-(4-sulfonylphenyl)butyl]xanthine;
8-Benzyl-1-propyl-3-[2-(4-sulfonylphenyl)ethyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfonylpropyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-(4-fluorobenzyl)-1-propylxanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(thiophen-2-yl)methyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(1H-tetrazol-5-yl)methyl]xanthine;
8-(2-Acetaminobenzyl)-3-[2-(4-aminophenyl)ethyl]-1-propylxanthine;
8-(2-Aminobenzyl)-3-(2-phenylethyl)-1-propylxanthine;
8-Benzyl-3-[2-(3-carboxyphenyl)ethyl]-1-propylxanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(8-sulfonyloctyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonylpentyl)xanthine;
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

10. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonoxybenzyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;
3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine;
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

11. The compound of claim 1, wherein said compound is selected from the group consisting of:

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonoxypentyl)xanthine;
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

12. A composition comprising a compound of claim 1 in a pharmaceutically acceptable carrier.